

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 January 2005 (13.01.2005)

PCT

(10) International Publication Number
WO 2005/003122 A1

(51) International Patent Classification⁷: **C07D 405/12**,
405/14, A61K 31/445 // (C07D 405/12, 321:00, 211:00),
405/12 // (C07D 319/00, 211:00), 405/14 // (C07D
319/00, 317:00, 211:00), 405/14 // (C07D 321/00, 317:00,
211:00), 405/14

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(21) International Application Number:
PCT/EP2004/006278

(22) International Filing Date: 10 June 2004 (10.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/EP03/50237 19 June 2003 (19.06.2003) EP

(71) Applicant (for all designated States except US):
JANSSEN PHARMACEUTICA N.V. [BE/BE]; Turn-
houtseweg 30, B-2340 Beerse (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BOSMANS, Jean-
Paul, René, Marie, André** [BE/BE]; Janssen Pharmaceu-
tica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **GI-
JSEN, Henricus, Jacobus, Maria** [NL/BE]; Janssen Phar-
maceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE).
MEVELLEC, Laurence, Anne [FR/FR]; Janssen-Cilag,
1, rue Camille Desmoulins, TSA 91003, F-92787 Issy-les-
Moulineaux Cedex 9 (FR).

(74) Common Representative: **JANSSEN PHARMACEU-
TICA N.V.**; Turnhoutseweg 30, B-2340 Beerse (BE).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

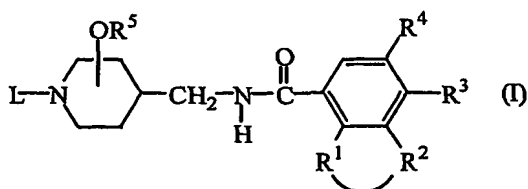
- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,
SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: 5HT₄-ANTAGONISTIC 4-(AMINOMETHYL)-PIPERIDINE BENZAMIDES



(57) Abstract: The present invention is concerned with
novel compounds of formula (I) having 5HT₄-antagonistic
properties. The invention further relates to methods
for preparing such novel compounds, pharmaceutical
compositions comprising said novel compounds as well as
the use as a medicine of said compounds.

WO 2005/003122 A1